

| Ref # | Hits | Search Query | DBs | Default Operator | Plurals | Time Stamp |
|-------|--------|---|-----------------|------------------|---------|------------------|
| L1 | 1199 | aripiprazole ziprasidone carbostyryl | US-PGPUB; USPAT | OR | ON | 2005/09/08 13:05 |
| L2 | 342 | (phenylpiperazin\$4 piperazin\$4) same (antipsychotic schizopen\$4) | US-PGPUB; USPAT | OR | ON | 2005/09/08 13:04 |
| L3 | 1503 | 1 2 | US-PGPUB; USPAT | OR | ON | 2005/09/08 12:17 |
| L4 | 16256 | cyclodextrin | US-PGPUB; USPAT | OR | ON | 2005/09/08 12:17 |
| L5 | 117 | 3 and 4 | US-PGPUB; USPAT | OR | ON | 2005/09/08 12:17 |
| L6 | 94598 | inject\$4 and (pain\$4 irritat\$4 discomfort) | US-PGPUB; USPAT | OR | ON | 2005/09/08 12:36 |
| L7 | 314 | 1 and 6 | US-PGPUB; USPAT | OR | ON | 2005/09/08 12:36 |
| L8 | 706859 | @ad>"20020821" | US-PGPUB; USPAT | OR | ON | 2005/09/08 12:37 |
| L9 | 129 | 7 not 8 | US-PGPUB; USPAT | OR | ON | 2005/09/08 12:37 |
| L10 | 73 | (phenylpiperazin\$4 piperazin\$4) same carbostyryl | US-PGPUB; USPAT | OR | ON | 2005/09/08 13:04 |
| L11 | 120 | aripiprazole | US-PGPUB; USPAT | OR | ON | 2005/09/08 13:05 |
| L12 | 185 | 10 11 | US-PGPUB; USPAT | OR | ON | 2005/09/08 13:05 |
| L13 | 548200 | soluble insoluble solubil\$4 | US-PGPUB; USPAT | OR | ON | 2005/09/08 13:05 |
| L14 | 14 | 12 same 13 | US-PGPUB; USPAT | OR | ON | 2005/09/08 13:05 |
| L15 | 2 | 14 not 8 | US-PGPUB; USPAT | OR | ON | 2005/09/08 13:05 |

| Ref # | Hits | Search Query | DBs | Default Operator | Plurals | Time Stamp |
|-------|------|--|-------------------|------------------|---------|------------------|
| L1 | 44 | aripiprazole | EPO; JPO; DERWENT | OR | ON | 2005/09/08 14:17 |
| L2 | 1 | carbostyrl and (phenylpiperizin\$4 piperizin\$4) | EPO; JPO; DERWENT | OR | ON | 2005/09/08 14:17 |
| L3 | 45 | 1 2 | EPO; JPO; DERWENT | OR | ON | 2005/09/08 14:18 |
| L4 | 8621 | cyclodextrin | EPO; JPO; DERWENT | OR | ON | 2005/09/08 14:18 |
| L5 | 2 | 3 and 4 | EPO; JPO; DERWENT | OR | ON | 2005/09/08 14:18 |
| L6 | 45 | 3 5 | EPO; JPO; DERWENT | OR | ON | 2005/09/08 14:18 |



FILE 'REGISTRY' ENTERED AT 14:46:27 ON 08 SEP 2005

L1 1 S ARIPIPAZOLE/CN
SELECT L1 1- CHEM

FILE 'MEDLINE, EMBASE, BIOSIS, CAPLUS' ENTERED AT 14:47:05 ON 08 SEP 2005

L2 1505 S E1-8
L3 1061 DUP REM L2 (444 DUPLICATES REMOVED)
L4 1453 S ARIPIPAZOLE
L5 4 S PIPERANZIN?
L6 93371 S PIPERAZIN?
L7 499 S DIHYDROCARBOSTYRIL
L8 2334 S CARBOSTYRIL
L9 52925 S CYCLODEXTRIN
L10 1037 S L3 AND (L4 OR L5 OR L6 OR L7 OR L8)
L11 2 S L10 AND L9
L12 1035 S L10 NOT L11
L13 1927086 S INJECT?
L14 863095 S PAIN?
L15 65945 S IRRITAT?
L16 492091 S SOLUBIL?
L17 46 S L12 AND (L14 OR L15 OR L16)
L18 7 S L12 AND (L16 OR (L13 AND (L14 OR L15)))

L18 ANSWER 1 OF 7 EMBASE COPYRIGHT 2005 ELSEVIER INC. ALL RIGHTS RESERVED.
on STN

ACCESSION NUMBER: 2005279040 EMBASE
TITLE: [New drugs in 2004].
NEUE WIRKSTOFFE 2004.
SOURCE: Tagliche Praxis, (2005) Vol. 46, No. 2, pp. 401-411.
ISSN: 0494-464X CODEN: TAEGBC
COUNTRY: Germany
DOCUMENT TYPE: Journal; (Short Survey)
FILE SEGMENT: 030 Pharmacology
036 Health Policy, Economics and Management
037 Drug Literature Index
038 Adverse Reactions Titles
LANGUAGE: German
ENTRY DATE: Entered STN: 20050714
Last Updated on STN: 20050714

L18 ANSWER 2 OF 7 EMBASE COPYRIGHT 2005 ELSEVIER INC. ALL RIGHTS RESERVED.
on STN

ACCESSION NUMBER: 2005230229 EMBASE
TITLE: Risperidone: A review.
AUTHOR: Moller H.-J.
CORPORATE SOURCE: H.-J. Moller, Ludwig-Maximilians-University, Department of
Psychiatry, Nussbaumstrasse 7, 80336 Munich, Germany.
hans-juergen.moeller@med.uni-muenchen.de
SOURCE: Expert Opinion on Pharmacotherapy, (2005) Vol. 6, No. 5,
pp. 803-818.
Refs: 99
ISSN: 1465-6566 CODEN: EOPHF7
COUNTRY: United Kingdom
DOCUMENT TYPE: Journal; General Review
FILE SEGMENT: 030 Pharmacology
032 Psychiatry
036 Health Policy, Economics and Management
037 Drug Literature Index
038 Adverse Reactions Titles
039 Pharmacy
LANGUAGE: English
SUMMARY LANGUAGE: English
ENTRY DATE: Entered STN: 20050609
Last Updated on STN: 20050609

AB When the risk of agranulocytosis associated with clozapine, the prototype of the second-generation neuroleptics, became apparent, its prescription was restricted to patients refractory to classical neuroleptics such as chlorpromazine and haloperidol. This stimulated the development of several novel second-generation antipsychotics with a clinical profile similar to that of clozapine. These novel antipsychotics, which include risperidone, olanzapine and others, are characterised by different pharmacological structures, and also to a certain degree by different pharmacological mechanisms. Following the increased research on the novel second-generation antipsychotics, it became apparent that they not only have the advantage of better extrapyramidal tolerability than the classical neuroleptics, but also have a broader efficacy spectrum (i.e., advantages in the treatment of negative and depressive symptoms and cognitive disturbances in the context of schizophrenia). Risperidone was specifically designed by Paul Janssen as a combined 5-HT(2A) and D2 receptor antagonist, thus following the pharmacological mechanism thought to be responsible for the antipsychotic effects of clozapine. After its advent in the 1990s as the first novel second-generation antipsychotic, risperidone achieved worldwide acceptance. The following review gives an overview of the huge clinical database available for risperidone in the field of schizophrenia. .COPYRGT. 2005 Ashley Publications Ltd.

L18 ANSWER 3 OF 7 EMBASE COPYRIGHT 2005 ELSEVIER INC. ALL RIGHTS RESERVED.
on STN

ACCESSION NUMBER: 2005227786 EMBASE
TITLE: Emerging drugs in Tourette syndrome.
AUTHOR: Silay Y.S.; Jankovic J.
CORPORATE SOURCE: Dr. J. Jankovic, Baylor College of Medicine, Parkinson's
Disease Center and Movement Disorders Clinic, Department of
Neurology, 6550 Fannin, Houston, TX 77030, United States.
josephj@bcm.tmc.edu
SOURCE: Expert Opinion on Emerging Drugs, (2005) Vol. 10, No. 2,
pp. 365-380.
Refs: 155

ISSN: 1472-8214 CODEN: EOEDA3
 COUNTRY: United Kingdom
 DOCUMENT TYPE: Journal; General Review
 FILE SEGMENT: 008 Neurology and Neurosurgery
 032 Psychiatry
 037 Drug Literature Index
 038 Adverse Reactions Titles
 LANGUAGE: English
 SUMMARY LANGUAGE: English
 ENTRY DATE: Entered STN: 20050609
 Last Updated on STN: 20050609

AB Proper education of the patient is the first step in the treatment of Tourette syndrome (TS). Before deciding how to treat the patient, it is important to decide whether to treat the TS-related symptoms. Counselling and behavioural modification may be sufficient for those with mild symptoms. Medications, however, may be considered when symptoms begin to interfere with peer relationships, social interactions, academic or job performance, or with activities of daily living. Therapy must be individualised and the most troublesome symptoms should be targeted first. Antidopaminergic agents are clearly the most effective drugs in the treatment of tics. Although haloperidol and pimozide are the only drugs currently approved by the FDA for the treatment of TS, other dopamine receptor-blocking drugs and tetrabenazine, a dopamine depleting drug, as well as botulinum toxin injections, have been used to treat tics associated with TS. Carefully designed, comparative, longitudinal trials assessing the efficacy and adverse-effect profiles of these drugs, including tardive dyskinesia, are lacking. Selective serotonin reuptake inhibitors are recommended for the treatment of obsessive-compulsive behaviour: a common comorbidity. Psychostimulants, such as methylphenidate, are the treatment of choice for attention deficit hyperactivity disorder. Even though these drugs may transiently increase tics, this does not necessarily constitute a definite contraindication to the use of these drugs in patients with TS. Here, existing and emerging medical treatments in patients with tics and comorbid behavioural disorders associated with TS are reviewed. .COPYRG. 2005 Ashley Publications Ltd.

L18 ANSWER 4 OF 7 EMBASE COPYRIGHT 2005 ELSEVIER INC. ALL RIGHTS RESERVED.
 on STN

ACCESSION NUMBER: 2002210160 EMBASE
 TITLE: Atypical antipsychotics: Revolutionary or incremental advance?
 AUTHOR: Citrome L.; Volavka J.
 CORPORATE SOURCE: L. Citrome, Nathan Kline Inst. Psychiat. Res., 140 Old Orangeburg Road, Orangeburg, NY 10962, United States.
 citrome@nki.rfmh.org
 SOURCE: Expert Review of Neurotherapeutics, (2002) Vol. 2, No. 1, pp. 69-88.
 Refs: 158

ISSN: 1473-7175 CODEN: ERNXAR
 COUNTRY: United Kingdom
 DOCUMENT TYPE: Journal; General Review
 FILE SEGMENT: 030 Pharmacology
 032 Psychiatry
 037 Drug Literature Index
 038 Adverse Reactions Titles
 LANGUAGE: English
 SUMMARY LANGUAGE: English
 ENTRY DATE: Entered STN: 20020708
 Last Updated on STN: 20020708

AB The discovery of chlorpromazine half a century ago and the subsequent emergence of other first generation antipsychotics, heralded a new advance in the treatment of schizophrenia. However, these new medications were not always effective. Even when they reduced the positive symptoms of schizophrenia, they were not as helpful in the relief of other symptom domains of schizophrenia, such as negative symptoms, impaired cognition and persistent aggressivity. Clozapine was the first of the new second generation of antipsychotics. It was introduced in the USA specifically for the indication of treatment-refractory schizophrenia. However, clozapine's side effect burden has led to a search for its replacement. This quest has pointed out the limitations of our treatments for refractory patients, but has made available a variety of second generation antipsychotics that have raised our expectations. Furthermore, the atypical antipsychotics hold promise for the treatment of the nonpsychotic patient with mood dysregulation or acute agitation.

L18 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2004:433670 CAPLUS
 DOCUMENT NUMBER: 140:400116
 TITLE: Acute treatment of headache with phenothiazine antipsychotics
 INVENTOR(S): Hale, Ron L.; Lloyd, Peter M.; Lu, Amy T.; Munzar, Patrik; Rabinowitz, Joshua D.; Skowronski, Roman
 PATENT ASSIGNEE(S): Alexza Molecular Delivery Corporation, USA
 SOURCE: U.S. Pat. Appl. Publ., 29 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| US 2004101481 | A1 | 20040527 | US 2003-719763 | 20031120 |
| WO 2004047841 | A1 | 20040610 | WO 2003-US37426 | 20031120 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| EP 1565184 | A1 | 20050824 | EP 2003-787033 | 20031120 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | |
| PRIORITY APPLN. INFO.: | | | US 2002-429404P | P 20021126 |
| | | | WO 2003-US37426 | W 20031120 |

AB Methods for treating headaches with antipsychotics are provided. A kit for treating headache is also provided, comprising an antipsychotic and a device for rapid delivery of the antipsychotic.

L18 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2004:392439 CAPLUS
 DOCUMENT NUMBER: 140:400095
 TITLE: Stereoisomers of p-hydroxy-milnacipran, and therapeutic use
 INVENTOR(S): Rariy, Roman V.; Heffernan, Michael; Buchwald, Stephen L.; Swager, Timothy M.
 PATENT ASSIGNEE(S): Collegium Pharmaceutical, Inc., USA
 SOURCE: PCT Int. Appl., 163 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2004039320 | A2 | 20040513 | WO 2003-US33681 | 20031022 |
| WO 2004039320 | A3 | 20040624 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2503381 | AA | 20040513 | CA 2003-2503381 | 20031022 |
| US 2004142904 | A1 | 20040722 | US 2003-691465 | 20031022 |
| PRIORITY APPLN. INFO.: | | | US 2002-421640P | P 20021025 |
| | | | US 2002-423062P | P 20021101 |
| | | | US 2003-445142P | P 20030205 |
| | | | WO 2003-US33681 | W 20031022 |

OTHER SOURCE(S): MARPAT 140:400095

AB The invention relates generally to the enantiomers of p-hydroxymilnacipran or congeners thereof. Biol. assays revealed that racemic

p-hydroxymilnacipran is approx. equipotent in inhibiting serotonin and norepinephrine uptake (IC₅₀ = 28.6 nM for norepinephrine, IC₅₀ = 21.7 nM for serotonin). Interestingly, (+)-p-hydroxymilnacipran is a more potent inhibitor of norepinephrine uptake than serotonin uptake (IC₅₀ = 10.3 nM for norepinephrine, IC₅₀ = 22 nM for serotonin). In contrast, (-)-p-hydroxymilnacipran is a more potent inhibitor of serotonin uptake compared to norepinephrine uptake (IC₅₀ = 88.5 nM for norepinephrine, IC₅₀ = 40.3 nM for serotonin). The invention also relates to salts and prodrug forms of the above compds. In certain embodiments, the compds. of the invention and a pharmaceutically acceptable excipient are combined to prepare a formulation for administration to a patient. Finally, the invention relates to methods of treating mammals suffering from various afflictions, e.g., depression, chronic pain, or fibromyalgia, comprising administering to a mammal in need thereof a therapeutically effective amount of a compound of the invention. Compound preparation is included.

L18 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:261676 CAPLUS

DOCUMENT NUMBER: 138:276308

TITLE: Preparation of aripiprazole with low hygroscopicity

INVENTOR(S): Bando, Takuji; Aoki, Satoshi; Kawasaki, Junichi; Ishigami, Makoto; Taniguchi, Youichi; Yabuuchi, Tsuyoshi; Fujimoto, Kiyoshi; Nishioka, Yoshihiro; Kobayashi, Noriyuki; Fujimura, Tsutomu; Takahashi, Masanori; Abe, Kaoru; Nakagawa, Tomonori; Shinham, Koichi; Utsumi, Naoto; Tominaga, Michiaki; Oi, Yoshihiro; Yamada, Shohei; Tomikawa, Kenji

PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 174 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|-------------|
| WO 2003026659 | A1 | 20030403 | WO 2002-JP9858 | 20020925 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2379005 | AA | 20030325 | CA 2002-2379005 | 20020327 |
| CA 2426921 | AA | 20030403 | CA 2002-2426921 | 20020925 |
| BR 2002005391 | A | 20030729 | BR 2002-5391 | 20020925 |
| EP 1330249 | A1 | 20030730 | EP 2002-782507 | 20020925 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| JP 2003212852 | A2 | 20030730 | JP 2002-279085 | 20020925 |
| EP 1419776 | A2 | 20040519 | EP 2004-2427 | 20020925 |
| EP 1419776 | A3 | 20040616 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| ZA 2003000113 | A | 20040806 | ZA 2003-113 | 20020925 |
| RU 2259366 | C2 | 20050827 | RU 2003-101334 | 20020925 |
| US 2004058935 | A1 | 20040325 | US 2003-333244 | 20030616 |
| JP 2004256555 | A2 | 20040916 | JP 2004-156130 | 20040526 |
| PRIORITY APPLN. INFO.: | | | JP 2001-290645 | A 20010925 |
| | | | JP 2001-348276 | A 20011114 |
| | | | CA 2002-2379005 | A 20020327 |
| | | | EP 2002-782507 | A3 20020925 |
| | | | JP 2002-279085 | A3 20020925 |
| | | | WO 2002-JP9858 | W 20020925 |

AB The present invention provides low hygroscopic forms of aripiprazole and processes for the preparation which will not convert to a hydrate or lose their original solubility even when a pharmaceutical containing the aripiprazole (anhydrous) crystals is stored for an extended period. Thus, aripiprazole hydrate was heated for 18 h at 100° and then for 3 h at 120° to produce

10/642,366

the crystals of the anhydrous form of aripiprazole. A tablet formulation contained aripiprazole 5, starch 131, Mg stearate 4, and lactose 60 mg.

REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT